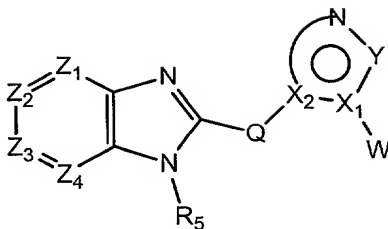


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable salt thereof, wherein:

Z₁ is nitrogen or CR₁;

Z₂ is nitrogen or CR₂;

Z₃ is nitrogen or CR₃;

Z₄ is nitrogen or CR₄;

provided that no more than two of Z₁, Z₂, Z₃, and Z₄ are nitrogen;

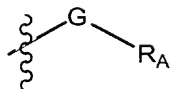
R₁, R₂, R₃, and R₄ are independently selected from

i) hydrogen, halogen, hydroxy, nitro, cyano, amino, haloalkyl, and haloalkoxy,

ii) alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, (cycloalkyl)alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), hydroxyalkyl, aminoalkyl, (R₁₀)NHalkyl-, (R₁₀)(R₁₁)Nalkyl-, alkanoyl, alkoxy carbonyl, (heterocycloalkyl)alkyl, alkylsulfonyl, alkylthio, mono- or dialkylaminocarbonyl, heterocycloalkyl, aryl, and heteroaryl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀,

wherein R₁₀ and R₁₁ are independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, alkanoyl, and mono and dialkylaminoalkyl; and

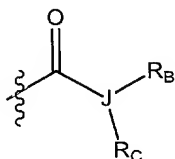
iii) a group of the formula:



where G is a bond, alkyl, -O-, -C(=O)-, or -CH₂C(=O)-, and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} ,

iv) a group of the formula



where J is N, CH, or C-alkyl, and

R_B and R_C are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, aryl, arylalkyl, alkanoyl, heteroaryl, and mono and dialkylaminoalkyl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl;

R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain:

- a) one or more double bonds,
- b) one or more of oxo, O, S, SO, SO_2 , or $N-R_D$ wherein R_D is hydrogen, Ar_1 , alkyl, cycloalkyl, heterocycloalkyl, or Ar_1 alkyl; wherein Ar_1 is aryl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl, and/or
- c) one or more substituents R_{20} ;

v) $-OC(=O)R_E$, $-C(=O)OR_E$, $-C(=O)NH_2$, $-C(=O)NHR_E$, $-C(=O)NR_ER_F$, $-S(O)_nR_E$, $-S(O)_nNH_2$, $-S(O)_nNHR_E$, $-S(O)_nNR_ER_F$, $-NHC(=O)R_E$, $-C(=NR_E)R_F$, $-HC=N-OH$, $-HC=N(alkoxy)$, $-HC=N(alkyl)$, $-NR_EC(=O)R_F$, $-NHS(O)_mR_E$, and $-NR_ES(O)_mR_F$, where m is 0, 1 or 2, and

R_E and R_F are independently selected at each occurrence from alkyl, cycloalkyl, heterocycloalkyl, alkoxy, mono- or dialkylamino, aryl, or heteroaryl each of which is optionally substituted by 1, 2, or 3 of R₃₀;

5 R₂₀ is independently selected at each occurrence from the group consisting of: halogen; hydroxy; nitro; cyano; amino; alkyl; alkoxy optionally substituted with amino or mono- or dialkylamino; cycloalkyl; cycloalkylalkyl; cycloalkylalkoxy; alkenyl; alkynyl; haloalkyl; oxo;
10 haloalkoxy; mono- and dialkylamino; aminoalkyl; and mono- and dialkylaminoalkyl;

R₃₀ is independently selected at each occurrence from halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or
5 dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, oxo, mono- and dialkylamino, aminoalkyl, and mono- and dialkylaminoalkyl;

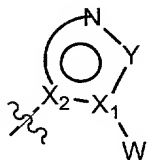
R₅ represents hydrogen or haloalkyl; or

20 R₅ represents alkyl, cycloalkyl, or (cycloalkyl)alkyl, each of which may contain one or more double or triple bonds, and each of which is optionally substituted with 1, 2, or 3 of R₃₀, or

R₅ represents aryl, arylalkyl, heteroaryl, or heteroarylalkyl
25 each of which is optionally substituted with 1, 2, or 3 substituents selected from the group consisting of haloalkyl, amino, -NH(R₁₀), -N(R₁₀)(R₁₁), carboxamido, (R₁₀)NHcarbonyl, (R₁₀)(R₁₁)Ncarbonyl, halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with
30 amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aminoalkyl, and mono- and dialkylaminoalkyl;

Q represents $-C(R_6)(R_7)$ or oxygen,

with the proviso that Q is not oxygen when X_2 is nitrogen;
 R_6 and R_7 independently represent hydrogen, fluorine, or alkyl;
the group:



represents a 5 to 7 membered heteroaryl or heterocycloalkyl ring containing up to 4 heteroatoms independently selected from nitrogen, sulfur, and oxygen, said 5 to 7 membered heteroaryl or heterocycloalkyl ring is substituted at each carbon atom by R, and substituted at each nitrogen atom available for substitution by R', wherein

R is independently chosen at each occurrence from hydrogen, halogen, amino, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, haloalkoxy, carboxamido, and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which may be further substituted with one or more substituents independently selected from halogen, oxo, hydroxy, alkyl, and alkoxy;

R' is independently chosen at each occurrence from alkyl, hydrogen, cycloalkyl, cycloalkyl(alkyl), and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which 3- to 7-membered carbocyclic or heterocyclic groups are optionally substituted with one or more substituents independently selected from halogen, oxo, hydroxy, alkyl, and alkoxy;

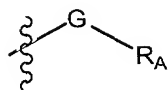
X_1 and X_2 independently represent nitrogen, carbon or CH;

Y is nitrogen, oxygen, carbon, $-CH-$, $-CH_2-$, or absent; and

W represents aryl or heteroaryl, wherein the aryl or heteroaryl group is optionally substituted with up to 4 groups

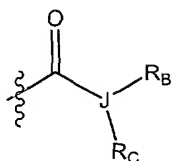
independently selected from R_{30} , $-\text{CO}_2\text{H}$, $-\text{C}(=\text{O})\text{OR}_E$, $-\text{C}(=\text{O})\text{NHR}_E$, $-\text{C}(=\text{O})\text{NR}_E\text{R}_F$, $-\text{C}(\text{O})\text{R}_E$, and $-\text{S}(\text{O})_m\text{R}_E$, $-\text{OR}_E$, where R_{30} and R_E are as defined above and m is 0, 1, or 2.

2. A compound or salt according to Claim 1, wherein R_1 , R_2 , R_3 , and R_4 are independently selected from
- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo($\text{C}_1\text{-C}_6$) alkyl, and halo($\text{C}_1\text{-C}_6$)alkoxy,
 - ii) ($\text{C}_1\text{-C}_6$)alkyl, ($\text{C}_1\text{-C}_6$)alkoxy, ($\text{C}_3\text{-C}_8$)cycloalkyl, ($\text{C}_2\text{-C}_6$)alkenyl, alkynyl, (($\text{C}_3\text{-C}_8$)cycloalkyl)($\text{C}_1\text{-C}_4$)alkyl, $-\text{NH}(\text{R}_{10})$, $-\text{N}(\text{R}_{10})(\text{R}_{11})$, hydroxy($\text{C}_1\text{-C}_6$)alkyl, amino($\text{C}_1\text{-C}_6$)alkyl, $(\text{R}_{10})\text{NH}(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{R}_{10})(\text{R}_{11})\text{N}(\text{C}_1\text{-C}_6)\text{alkyl}$, ($\text{C}_1\text{-C}_6$)alkanoyl, ($\text{C}_1\text{-C}_6$)alkoxycarbonyl, ($\text{C}_1\text{-C}_6$)alkylsulfonyl, ($\text{C}_1\text{-C}_6$)alkylthio, mono- or di($\text{C}_1\text{-C}_6$)alkylaminocarbonyl, heterocycloalkyl, (heterocycloalkyl) $\text{C}_1\text{-C}_4$ alkyl, aryl, and heteroaryl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} , wherein R_{10} and R_{11} are independently selected from the group consisting of ($\text{C}_1\text{-C}_6$)alkyl, ($\text{C}_2\text{-C}_6$)alkenyl, ($\text{C}_1\text{-C}_6$)alkoxy, ($\text{C}_3\text{-C}_8$)cycloalkyl, ($\text{C}_3\text{-C}_8$)cycloalkylalkyl, aryl, aryl($\text{C}_1\text{-C}_6$)alkyl, ($\text{C}_1\text{-C}_6$)alkanoyl, and mono and di($\text{C}_1\text{-C}_6$)alkylaminoalkyl;
 - iii) a group of the formula:



where G is ($\text{C}_1\text{-C}_6$)alkyl, $-\text{O}-$, $-\text{C}(=\text{O})-$, or $-\text{CH}_2\text{C}(=\text{O})-$, and

- R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring consisting of from 3 to 8 ring atoms, and each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O; said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} , and
- iv) a group of the formula



where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈cycloalkyl) (C₁-C₄)alkyl, heterocycloalkyl, aryl, aryl(C₁-C₄)alkyl, (C₁-C₆)alkanoyl, heteroaryl, and mono and di(C₁-C₆)alkylamino(C₁-C₆)alkyl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, C₁-C₆alkoxy, and C₁-C₆alkyl; or

R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

a) one or more double bonds;

b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen, Ar₁, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, heterocycloalkyl, or Ar₁(C₁-C₆)alkyl; wherein Ar₁ is aryl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, C₁-C₆alkoxy, and C₁-C₆alkyl; and/or

c) one or more substituents R₂₀;

v) -OC(=O)R_E, -C(=O)OR_E, -C(=O)NH₂, -C(=O)NHR_E, -C(=O)NR_ER_F, -S(O)_nR_E, -S(O)_nNH₂, -S(O)_nNHR_E, -S(O)_nNR_ER_F, -NHC(=O)R_E, -C(=NR_E)R_F, -HC=N-OH, -HC=N(C₁-C₆alkoxy), -HC=N(C₁-C₆alkyl), -NR_EC(=O)R_F, -NHS(O)_mR_E, and -NR_ES(O)_mR_F, where m is 0, 1 or 2, and

R_E and R_F are independently selected at each occurrence from (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, heterocycloalkyl, (C₁-C₆)alkoxy, mono- and di(C₁-C₆)alkylamino, aryl, and

heteroaryl each of which is optionally substituted by
1, 2, or 3 of R₃₀;

R₂₀ is independently selected at each occurrence from the
group consisting of halogen; hydroxy; nitro; cyano;
5 amino; (C₁-C₆)alkyl; (C₁-C₆)alkoxy optionally
substituted with amino or mono- or di(C₁-C₆)alkylamino;
(C₃-C₈)cycloalkyl; (C₃-C₈)cycloalkyl(C₁-C₄)alkyl;
(C₃-C₈)cycloalkyl(C₁-C₄)alkoxy; (C₂-C₆)alkenyl; (C₂-
C₆)alkynyl; halo(C₁-C₆)alkyl; halo(C₁-C₆)alkoxy; oxo;
10 mono- and di(C₁-C₆)alkylamino; amino(C₁-C₆)alkyl; and
mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₃₀ is independently selected at each occurrence from
halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl,
(C₁-C₆)alkoxy optionally substituted with amino or
15 mono- or di(C₁-C₆)alkylamino, (C₃-C₈)cycloalkyl,
(C₃-C₈)cycloalkyl(C₁-C₄)alkyl,
(C₃-C₈)cycloalkyl(C₁-C₄)alkoxy, heterocycloalkyl,
(C₂-C₆)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl,
halo(C₁-C₆)alkoxy, oxo, mono- and di(C₁-C₆)alkylamino,
20 amino(C₁-C₆)alkyl, and
mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents hydrogen or halo(C₁-C₆)alkyl; or

R₅ represents (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, or

(C₃-C₈cycloalkyl)(C₁-C₄)alkyl, each of which may contain
25 one or more double or triple bonds, and each of which is
optionally substituted with 1, 2, or 3 of R₃₀ or

R₅ represents aryl, aryl(C₁-C₄)alkyl, heteroaryl, or
heteroaryl(C₁-C₄)alkyl each of which is optionally
substituted with 1, 2, or 3 substituents selected from the
30 group consisting of:

halo(C₁-C₆)alkyl, amino, NH(R₁₀), N(R₁₀)(R₁₁), carboxamido,
NH(R₁₀)carbonyl, N(R₁₀)(R₁₁)carbonyl, halogen, hydroxy,
nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy optionally

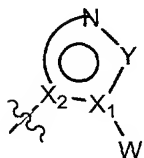
substituted with amino or mono- or
 di(C₁-C₆)alkylamino, (C₃-C₈)cycloalkyl,
 (C₃-C₈)cycloalkyl(C₁-C₄)alkyl,
 (C₃-C₈)cycloalkyl(C₁-C₄)alkoxy, heterocyclo(C₁-C₄)alkyl,
 (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl,
 halo(C₁-C₆)alkoxy, amino(C₁-C₆)alkyl, and
 mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

Q represents -C(R₆)(R₇) or oxygen,

with the proviso that Q is not oxygen when X₂ is nitrogen;

R₆ and R₇ independently represent hydrogen, fluorine, or
 C₁-C₆alkyl;

the group:



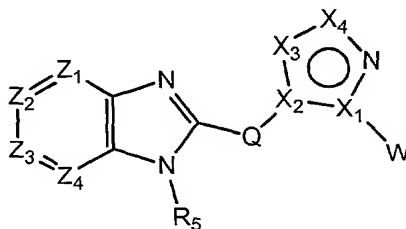
represents a 5 to 7 membered heteroaryl or heterocycloalkyl ring
 containing up to 4 heteroatoms selected from nitrogen,
 sulfur, and oxygen, said 5 to 7 membered heteroaryl or
 heterocycloalkyl ring is substituted at each carbon atom by
 R, and is substituted at each nitrogen atom available for
 substitution by R', wherein

R is independently chosen at each occurrence from hydrogen,
 halogen, amino, C₁-C₆alkyl, (C₂-C₆)alkenyl, (C₂-
 C₆)alkynyl, C₁-C₆alkoxy, (C₃-C₈)cycloalkyl, (C₃-
 C₈cycloalkyl)(C₁-C₄)alkyl, halo(C₁-C₆)alkyl, haloalkoxy,
 carboxamido, and 3- to 7-membered carbocyclic or
 heterocyclic groups which are saturated, unsaturated,
 or aromatic, which may be further substituted with one
 or more substituents independently selected from
 halogen, oxo, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R' is independently chosen at each occurrence from hydrogen,
 C₁-C₆alkyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl(C₁-C₄alkyl),
 and 3- to 7-membered carbocyclic or heterocyclic groups

which are saturated, unsaturated, or aromatic, which 3-
to 7-membered carbocyclic or heterocyclic groups are
optionally substituted with one or more substituents
independently selected from halogen, oxo, hydroxy,
5 C₁₋₄alkyl, and -O(C₁₋₄alkyl); and
X₁, X₂, W, and Y are as defined in Claim 1.

3. A compound or salt according to Claim 2 of the formula:



10 wherein Z₁, Z₂, Z₃, Z₄, R₅, Q, X₁, X₂, and W are as defined in
Claim 2;

X₃ and X₄ are independently selected from the group consisting of
carbon, CR, N, O, S, NH, and N(C₁₋₆)alkyl;

provided that at least one of X₁, X₂, X₃, and X₄ is carbon or
15 CR, wherein

R is independently chosen at each occurrence from hydrogen,
halogen, amino, (C₁₋₆)alkyl, (C₁₋₆)alkoxy,
(C₃₋₈)cycloalkyl, (C₃₋₈)cycloalkyl(C₁₋₆)alkyl, (C₂₋₆)alkenyl,
(C₂₋₆)alkynyl, halo(C₁₋₆)alkyl,
20 halo(C₁₋₆)alkoxy, carboxamido, and 3- to 7-membered
carbocyclic or heterocyclic groups which are saturated,
unsaturated, or aromatic, which may be further
substituted with one or more substituents independently
selected from halogen, oxo, hydroxy, C₁₋₄alkyl, and -
25 O(C₁₋₄alkyl).

4. A compound or salt according to Claim 1 wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; and Z₄ is CR₄.

5. A compound or salt according to Claim 2 wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; and Z₄ is CR₄.

6. A compound or salt according to Claim 3 wherein
5 Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; and Z₄ is CR₄.

7. A compound or salt according to Claim 6, wherein
X₂ is carbon; and Q is oxygen.

10 8. A compound or salt according to Claim 6, wherein
X₂ is N; and Q is C(R₆) (R₇).

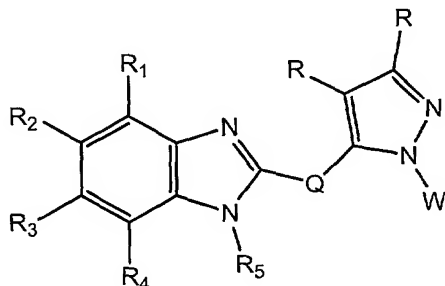
9. A compound or salt according to Claim 6, wherein
X₂ is carbon; and Q is C(R₆) (R₇).

10. A compound or salt according to Claim 6, wherein X₁ is
carbon; X₂ is N; and Q is C(R₆) (R₇).

11. A compound or salt according to Claim 6, wherein X₁ is
20 nitrogen; X₂ is carbon; and Q is C(R₆) (R₇).

12. A compound or salt according to Claim 6, wherein Q is
C(R₆) (R₇).

25 13. A compound or salt according to Claim 6 of the formula



wherein R, R₁, R₂, R₃, R₄, R₅, Q, and W are as defined in Claim 6.

14. A compound or salt according to Claim 13 wherein Q is C(R₆)(R₇).

15. A compound or salt according to Claim 14, wherein:

5 R is independently selected at each occurrence from the group consisting of

i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and

10 ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁-C₄alkyl, and -O(C₁-C₄alkyl);

R₁, R₂, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

20 R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆alkyl; and

25 W represents phenyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, or pyrimidinyl, each of which is optionally substituted with up to 4 independently selected R₃₀ groups.

30 16. A compound or salt according to Claim 14, wherein:

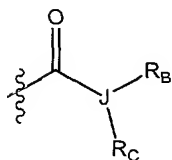
R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

21. A compound or salt according to Claim 17 wherein
R₂ is chosen from

i) hydrogen, halogen, hydroxy, nitro, cyano, amino,
halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,

ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-
C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁),
(R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl,
(heterocycloalkyl)alkyl, and heterocycloalkyl, each of which
is optionally substituted with 1, 2, 3, or 4 of R₂₀.

22. A compound or salt according to Claim 17 wherein
R₂ is a group of the formula



where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of
hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-
C₈cycloalkyl, and (C₃-C₈cycloalkyl)(C₁-C₄)alkyl; or

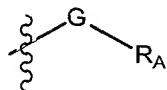
R_B and R_C and the atom to which they are attached form a 4-
to 10-membered monocyclic or bicyclic ring, which may
contain

a) one or more double bonds,

b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is
hydrogen or (C₁-C₆)alkyl;

c) one or more substituents R₂₀.

23. A compound or salt according to Claim 17 wherein
R₂ is a group of the formula:



where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

24. A compound or salt according to Claim 23 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

25. A compound or salt according to Claim 14, wherein:
R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;
R₁, R₂, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

Q is CH₂; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl, isoquinolinyl each of which is optionally substituted with up to 4 R₃₀ groups.

26. A compound or salt according to Claim 25 wherein R₁, R₂, and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and

W is phenyl, pyridyl, or thiazolyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂alkoxy.

5

27. A compound or salt according to Claim 26, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

10 28. A compound or salt according to Claim 26, wherein R, R₁, and R₄ are hydrogen.

29. A compound or salt according to Claim 26, wherein R₅ is ethyl or n-propyl.

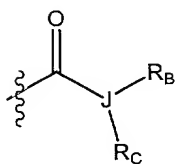
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30. A compound or salt according to Claim 26 wherein R₃ is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,
- 20 ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

25

31. A compound or salt according to Claim 26 wherein R₃ is a group of the formula



where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl) (C₁-C₄)alkyl; or

R_B and R_C and the atom to which they are attached form a 4-

5 to 10-membered monocyclic or bicyclic ring, which may contain

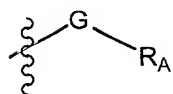
a) one or more double bonds,

b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;

10 c) one or more substituents R₂₀.

32. A compound or salt according to Claim 26 wherein

R₃ is a group of the formula:



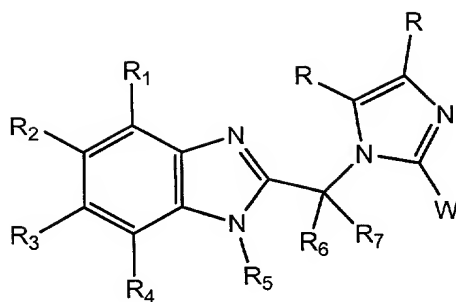
15 where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

33. A compound or salt according to Claim 32 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R₂₀.

34. A compound or salt according to Claim 26 wherein R₃ is -HC=N-OH or -HC=N(C₁-C₆ alkoxy).

35. A compound or salt according to Claim 6 of the formula



wherein R, R₁, R₂, R₃, R₄, R₅, R₆, R₇, and W are as defined in Claim 6.

36. A compound or salt according to Claim 35, wherein:
R is independently selected at each occurrence from the group consisting of

- i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R₁, R₂, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆ alkyl; and

W represents phenyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, or

pyrimidinyl, each of which is optionally substituted with up to 4 R₃₀ groups.

37. A compound or salt according to Claim 35, wherein:

W represents a 6-membered aryl or heteroaryl groups, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, -S(O)_mR_E, and -OR_E; and m is 0, 1, or 2.

38. A compound or salt according to Claim 35, wherein: W represents a 5-membered heteroaryl group, wherein the 5-membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, -S(O)_mR_E, and -OR_E, and m is 0, 1, or 2.

39. A compound or salt according to Claim 35, wherein: R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl; R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl, isoquinolinyl each of which is optionally substituted with up to 4 R₃₀ groups.

40. A compound or salt according to Claim 39 wherein
R₁, R₃, and R₄ are independently selected from hydrogen, halogen,
trifluoromethyl, C₁-C₂ alkyl, and cyano; and
5 W is phenyl, pyridyl, or thiazolyl, each which is optionally
substituted by one or more substituents independently chosen
from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-
C₂alkyl, and C₁-C₂ alkoxy.

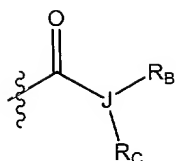
10 41. A compound or salt according to Claim 40, wherein W is
2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-
pyridinyl.

15 42. A compound or salt according to Claim 40, wherein R, R₁,
and R₄ are hydrogen.

43. A compound or salt according to Claim 40, wherein R₅ is
ethyl or n-propyl.

20 44. A compound or salt according to Claim 40 wherein
R₂ is chosen from
i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-
C₆)alkyl, and halo(C₁-C₆)alkoxy,
ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-
25 C₆alkynyl, (C₃-C₈cycloalkyl) C₁-C₄alkyl, -NH(R₁₀), -
N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl,
(heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of
which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

30 45. A compound or salt according to Claim 40 wherein
R₂ is a group of the formula



where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl) (C₁-C₄)alkyl; or

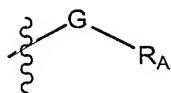
R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

a) one or more double bonds,

b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;

c) one or more substituents R₂₀.

46. A compound or salt according to Claim 40 wherein R₂ is a group of the formula:



where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

47. A compound or salt according to Claim 46 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

48. A compound or salt according to Claim 40 wherein

R₂ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

49. A compound or salt according to Claim 35, wherein:
R is independently selected at each occurrence from the group
5 consisting of hydrogen, halogen, and (C₁-C₂)alkyl;
R₁, R₂, and R₄ are independently selected from hydrogen, halogen,
hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy,
(C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-
C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino,
10 amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-
C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl,
5 imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl,
isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl,
isoquinolinyl each of which is optionally substituted with
up to 4 R₃₀ groups.

50. A compound or salt according to Claim 49 wherein
20 R₁, R₂, and R₄ are independently selected from hydrogen, halogen,
trifluoromethyl, C₁-C₂ alkyl, and cyano; and
W is phenyl, pyridyl, or thiazolyl, each which is optionally
substituted by one or more substituents independently chosen
25 from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-
C₂alkyl, and C₁-C₂ alkoxy.

51. A compound or salt according to Claim 50, wherein W is
2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-
30 pyridinyl.

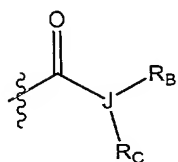
52. A compound or salt according to Claim 50, wherein R, R₁,
and R₄ are hydrogen.

53. A compound or salt according to Claim 50, wherein R₅ is ethyl or n-propyl.

54. A compound or salt according to Claim 50 wherein R₃ is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,
- ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

55. A compound or salt according to Claim 50 wherein R₃ is a group of the formula

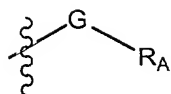


where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl)(C₁-C₄)alkyl; or R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
- b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;
- c) one or more substituents R₂₀.

56. A compound or salt according to Claim 50 wherein R₃ is a group of the formula:



where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

57. A compound or salt according to Claim 56 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R₂₀.

58. A compound or salt according to Claim 50 wherein R₃ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

59. A compound or salt according to Claim 3 wherein:

Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;

X₁ is carbon; X₂ is nitrogen; X₃ is CR; X₄ is nitrogen; and Q is C(R₆)(R₇).

60. A compound or salt according to Claim 3 wherein

Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;

X₁ is carbon; X₂ is nitrogen; X₃ is nitrogen; X₄ is CR; and Q is C(R₆)(R₇).

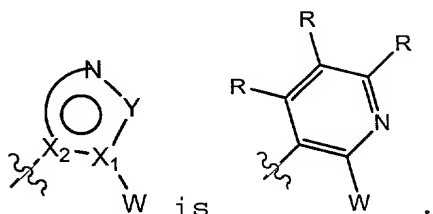
61. A compound or salt according to Claim 3 wherein

Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;

X₁ is carbon; X₂ is carbon; X₃ is S; and X₄ is CR.

62. A compound or salt according to Claim 61 wherein Q is C(R₆)(R₇).

63. A compound or salt according to Claim 2, wherein
5 Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
and the group



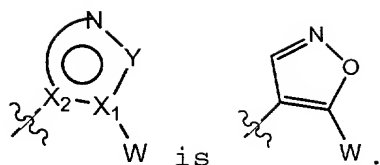
64. A compound or salt according to Claim 63 wherein Q is C(R₆)(R₇).

65. A compound or salt according to Claim 3 wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
X₁ is nitrogen; X₂ is carbon; X₃ is nitrogen; and X₄ is CR.

66. A compound or salt according to Claim 3 wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
X₁ is carbon; X₂ is carbon; X₃ is NH or N(C₁-C₆alkyl); and X₄ is CR.

67. A compound or salt according to Claim 3 wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
X₁ is carbon; X₂ is nitrogen; X₃ is nitrogen; X₄ is nitrogen; and
Q is C(R₆)(R₇).

68. A compound or salt according to Claim 2, wherein
Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
and the group



69. A compound or salt according to Claim 3, wherein
 Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
 5 X₁ is nitrogen; X₂ is carbon; X₃ is CR; and X₄ is nitrogen.

70. A compound or salt according to Claim 69 wherein Q is
 C(R₆) (R₇) .

71. A compound or salt according to Claim 3, wherein
 Z₁ is CR₁; Z₂ is CR₂; Z₃ is CR₃; Z₄ is CR₄;
 X₁ is nitrogen; X₂ is carbon; X₃ is nitrogen; and X₄ is nitrogen.

72. A compound or salt according to Claim 71 wherein Q is
 C(R₆) (R₇) .

73. A compound or salt according to Claim 1 wherein one and
 only one of Z₁, Z₂, Z₃, and Z₄ is nitrogen.

74. A compound or salt according to Claim 2 wherein one and
 only one of Z₁, Z₂, Z₃, and Z₄ is nitrogen.

75. A compound or salt according to Claim 3 wherein
 one and only one of Z₁, Z₂, Z₃, and Z₄ is nitrogen.

76. A compound or salt according to Claim 75 wherein
 either Z₂ or Z₃ is nitrogen; and
 W represents a 5-membered heteroaryl group, the 5-membered
 heteroaryl group is optionally substituted with up to 4
 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -

C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or 2.

77. A compound or salt according to Claim 76, wherein

X₂ is carbon; and Q is oxygen.

78. A compound or salt according to Claim 76, wherein

X₂ is N; and Q is C(R₆)(R₇).

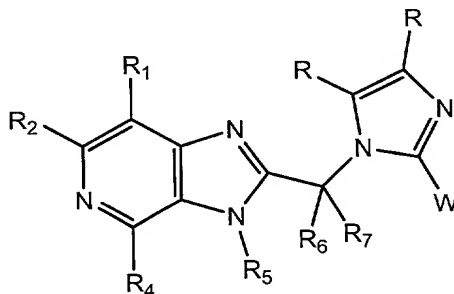
79. A compound or salt according to Claim 76, wherein

X₂ is carbon; and Q is C(R₆)(R₇).

80. A compound or salt according to Claim 76, wherein X₁ is carbon; X₂ is N; and Q is C(R₆)(R₇).

81. A compound or salt according to Claim 76, wherein X₁ is nitrogen; X₂ is carbon; and Q is C(R₆)(R₇).

82. A compound or salt according to Claim 76 of the formula



wherein R, R₁, R₂, R₄, R₅, R₆, R₇, and W are as defined in Claim 76.

83. A compound or salt according to Claim 82, wherein:

R is independently selected at each occurrence from the group consisting of

- i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R₁, R₂, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆alkyl; and

W represents thienyl, thiazolyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl each of which is optionally substituted with up to 4 R₃₀ groups.

84. A compound or salt according to Claim 82, wherein:

R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents furanyl, thienyl, thiazoyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl, each of which is optionally substituted with up to 4 R₃₀ groups.

85. A compound or salt according to Claim 84 wherein R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and W is thiazolyl which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

86. A compound or salt according to Claim 85, wherein W is 2-thiazolyl.

87. A compound or salt according to Claim 85, wherein R, R₁, and R₄ are hydrogen.

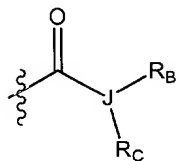
88. A compound or salt according to Claim 85, wherein R₅ is ethyl or n-propyl.

89. A compound or salt according to Claim 85 wherein R₂ is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,
- ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₁-C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl) C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

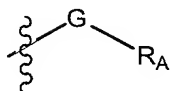
90. A compound or salt according to Claim 85 wherein R₂ is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy.

91. A compound or salt according to Claim 85 wherein R₂ is a group of the formula



- 5 where J is N, CH, or C-(C₁-C₆)alkyl and R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl) (C₁-C₄)alkyl; or R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain
- a) one or more double bonds,
 - b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl; and/or
 - c) one or more substituents R₂₀.

92. A compound or salt according to Claim 85 wherein R₂ is a group of the formula:



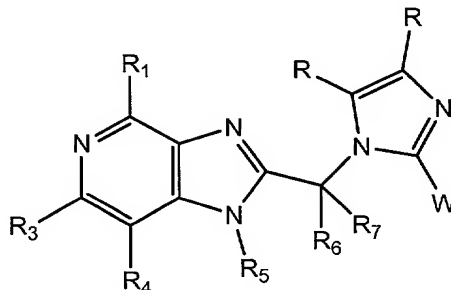
where G is a bond or C₁-C₂alkyl; and

- 20 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

93. A compound or salt according to Claim 92 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is 30 is optionally substituted with 1, 2, 3, or 4 of R₂₀.

94. A compound or salt according to Claim 85 wherein
R₂ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

5 95. A compound or salt according to Claim 76 of the formula



wherein R, R₁, R₂, R₄, R₅, R₆, R₇, and W are as defined in Claim
76.

96. A compound or salt according to Claim 75, wherein:
R is independently selected at each occurrence from the group
consisting of

- i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl,
(C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy,
halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and
ii) phenyl and pyridyl each of which is optionally substituted
with up to 3 substituents independently chosen from halogen,
hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R₁, R₃, and R₄ are independently selected from hydrogen, halogen,
hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy,
(C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-
C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl,
halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or
di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-
C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-
C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl,
thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆ alkyl; and

W represents thienyl, thiazoyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl each of which is optionally substituted with up to 4 R₃₀ groups.

97. A compound or salt according to Claim 95, wherein:

R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents furanyl, thienyl, thiazoyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl, each of which is optionally substituted with up to 4 R₃₀ groups.

98. A compound or salt according to Claim 97 wherein

R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and

W is thiazolyl which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

99. A compound or salt according to Claim 98, wherein W is

2-thiazolyl.

100. A compound or salt according to Claim 98, wherein R,

R₁, and R₄ are hydrogen.

101. A compound or salt according to Claim 98, wherein R₅ is ethyl or n-propyl.

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102. A compound or salt according to Claim 98 wherein R₃ is chosen from

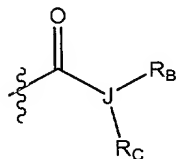
- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy, and
- 10 ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl) C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

5

103. A compound or salt according to Claim 102 wherein R₃ is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy.

20

104. A compound or salt according to Claim 98 wherein R₃ is a group of the formula



where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of

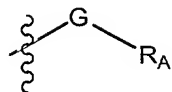
25 hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl) (C₁-C₄)alkyl; or

R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
- 30 b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl; and/or

c) one or more substituents R₂₀.

105. A compound or salt according to Claim 98 wherein R₃ is a group of the formula:



where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

106. A compound or salt according to Claim 105 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R₂₀.

107. A compound or salt according to Claim 98 wherein R₂ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

108. A compound or salt according to Claim 76 wherein: X₁ is carbon; X₂ is nitrogen; X₃ is CR; and X₄ is nitrogen; and Q is C(R₆)(R₇).

109. A compound or salt according to Claim 76 wherein X₁ is carbon; X₂ is nitrogen; X₃ is nitrogen; X₄ is CR; and Q is C(R₆)(R₇).

110. A compound or salt according to Claim 76 wherein X₁ is carbon; X₂ is carbon; X₃ is S; and X₄ is CR.

X₁ is carbon; X₂ is carbon; X₃ is NH or NCH₃; and X₄ is CR.

119. A compound or salt according to Claim 76, wherein X₁ is nitrogen; X₂ is carbon; X₃ is nitrogen; and X₄ is nitrogen.

5

120. A compound or salt according to Claim 119 wherein Q is C(R₆)(R₇).

121. A compound or salt according to Claim 75 wherein
10 either Z₂ or Z₃ is nitrogen; and
W represents a 6-membered aryl or heteroaryl group, the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or
15 2.

122. A compound or salt according to Claim 121, wherein X₂ is carbon; and Q is oxygen.

20

123. A compound or salt according to Claim 121, wherein X₂ is N; and Q is C(R₆)(R₇).

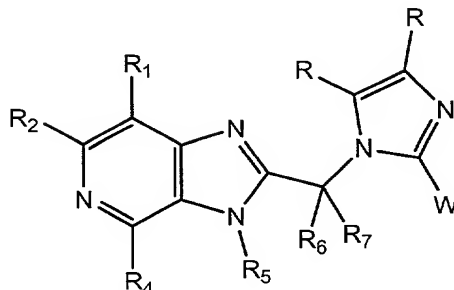
124. A compound or salt according to Claim 121, wherein
25 X₂ is carbon; and Q is C(R₆)(R₇).

125. A compound or salt according to Claim 121, wherein X₁ is carbon; X₂ is N; and Q is C(R₆)(R₇).

30 126. A compound or salt according to Claim 121, wherein X₁ is nitrogen; X₂ is carbon; and Q is C(R₆)(R₇).

127. A compound or salt according to Claim 121, wherein Q is C(R₆)(R₇).

128. A compound or salt according to Claim 121 of the
5 formula



wherein R, R₁, R₂, R₄, R₅, R₆, R₇, and W are as defined in Claim 121.

129. A compound or salt according to Claim 128, wherein:
R is independently selected at each occurrence from the group consisting of

- i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R₁, R₂, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆ alkyl; and

W represents phenyl, pyrimidinyl, pyridyl, pyridizinyl, or pyrazinyl, each of which is optionally substituted with up to 4 R₃₀ groups.

130. A compound or salt according to Claim 128, wherein:
R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, pyrimidinyl, pyridyl, pyridizinyl, or pyrazinyl each of which is optionally substituted with up to 4 R₃₀ groups.

131. A compound or salt according to Claim 130 wherein
R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and

W is phenyl or pyridyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

132. A compound or salt according to Claim 131, wherein W is 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

133. A compound or salt according to Claim 131, wherein R,
R₁, and R₄ are hydrogen.

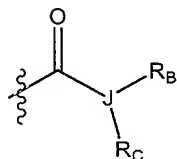
134. A compound or salt according to Claim 131, wherein R₅
5 is ethyl or n-propyl.

135. A compound or salt according to Claim 131 wherein
R₂ is chosen from

- 10 i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-
C₆)alkyl, and halo(C₁-C₆)alkoxy, and
ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-
C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁),
(R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl,
5 (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of
which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

136. A compound or salt according to Claim 135 wherein
R₂ is chosen from hydrogen, halogen, hydroxy, nitro, cyano,
amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy.

137. A compound or salt according to Claim 131 wherein
R₂ is a group of the formula



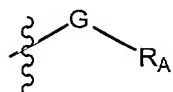
where J is N, CH, or C-(C₁-C₆)alkyl and

25 R_B and R_C are independently selected from the group consisting of
hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-
C₈cycloalkyl, and (C₃-C₈cycloalkyl)(C₁-C₄)alkyl; or

R_B and R_C and the atom to which they are attached form a 4- to
10-membered monocyclic or bicyclic ring, which may contain
30 a) one or more double bonds,

- b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;
 c) one or more substituents R₂₀.

138. A compound or salt according to Claim 131 wherein R₂ is a group of the formula:



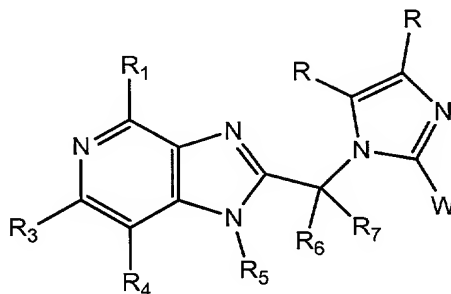
where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

139. A compound or salt according to Claim 138 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

140. A compound or salt according to Claim 131 wherein R₂ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

141. A compound or salt according to Claim 121 of the formula



wherein R, R₁, R₂, R₄, R₅, R₆, R₇, and W are as defined in Claim 141.

142. A compound or salt according to Claim 141, wherein:

5 R is independently selected at each occurrence from the group consisting of

- i) hydrogen, halogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₁-C₆)alkoxy, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, and
- 10 ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);

R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

20 R₅ represents hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆ alkyl; and

25 W represents phenyl, pyridyl, pyridizinyl, pyrimidinyl, or pyrazinyl, each of which is optionally substituted with up to 4 R₃₀ groups.

143. A compound or salt according to Claim 142, wherein:

30 R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy,

(C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

5 R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, pyridyl, pyridizynyl, pyrimidinyl, or pyrazinyl, each of which is optionally substituted with up to 4 R₃₀ groups.

10

144. A compound or salt according to Claim 143 wherein R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and

5 W is phenyl or pyridyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

145. A compound or salt according to Claim 144, wherein W is 20 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

146. A compound or salt according to Claim 144, wherein R, R₁ and R₄ are hydrogen.

25 147. A compound or salt according to Claim 144, wherein R₅ is ethyl or n-propyl.

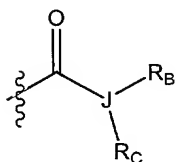
148. A compound or salt according to Claim 144 wherein R₃ is chosen from

- 30 i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,
ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl)C₁-C₄alkyl, -NH(R₁₀),

-N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

149. A compound or salt according to Claim 148 wherein R₃ is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy.

150. A compound or salt according to Claim 144 wherein R₃ is a group of the formula



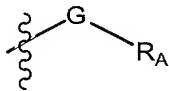
where J is N, CH, or C-(C₁-C₆)alkyl and

R_B and R_C are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₃-C₈cycloalkyl, and (C₃-C₈cycloalkyl)(C₁-C₄)alkyl; or

R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

- one or more double bonds,
- one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;
- one or more substituents R₂₀.

151. A compound or salt according to Claim 144 wherein R₃ is a group of the formula:



where G is a bond or C₁-C₂alkyl; and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially

unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.

152. A compound or salt according to Claim 151 wherein R_A is
5 chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R₂₀.

153. A compound or salt according to Claim 144 wherein
10 R₂ is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

154. A compound or salt according to Claim 121 wherein:
X₁ is carbon; X₂ is nitrogen; X₃ is CR; X₄ is nitrogen; and Q is
C(R₆)(R₇).

155. A compound or salt according to Claim 121 wherein
X₁ is carbon; X₂ is nitrogen; X₃ is nitrogen; X₄ is CR; and Q is
C(R₆)(R₇).

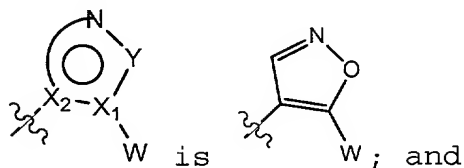
156. A compound or salt according to Claim 121 wherein
X₁ is carbon; X₂ is carbon; X₃ is S; X₄ is CR; and Q is C(R₆)(R₇).

157. A compound or salt according to Claim 156 wherein
X₁ is nitrogen; X₂ is carbon; X₃ is nitrogen; and X₄ is CR.

158. A compound or salt according to Claim 121 wherein
X₁ is carbon; X₂ is carbon; X₃ is NH or N(C₁-C₆alkyl); and X₄ is
CR.

159. A compound or salt according to Claim 121 wherein
X₁ is carbon; X₂ is nitrogen; X₃ is nitrogen; X₄ is nitrogen; and
Q is C(R₆)(R₇).

160. A compound or salt according to Claim 119, wherein either Z₂ or Z₃ is nitrogen; and the group



- 5 W represents a 6-membered aryl or heteroaryl group, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or 2.

161. A compound or salt according to Claim 121, wherein X₁ is nitrogen; X₂ is carbon; X₃ is CR; and X₄ is nitrogen.

162. A compound or salt according to Claim 161 wherein Q is C(R₆)(R₇).

163. A compound or salt according to Claim 121, wherein X₁ is nitrogen; X₂ is carbon; X₃ is nitrogen; and X₄ is nitrogen.

164. A compound or salt according to Claim 163 wherein Q is C(R₆)(R₇).

165. A pharmaceutical composition comprising a compound or salt according to Claim 1 combined with at least one pharmaceutically acceptable carrier or excipient.

166. A method for altering the signal-transducing activity of a GABA_A receptor, said method comprising contacting a cell expressing such a receptor with an amount of a compound or salt according to Claim 1 sufficient to detectably alter the

electrophysiology of the cell, wherein a detectable alteration of the electrophysiology of the cell indicates an alteration of the signal-transducing activity of GABA_A receptors.

5 167. A method for altering the signal-transducing activity of a GABA_A receptor, said method comprising contacting a cell expressing such receptors with an amount of a compound or salt according to Claim 1 to detectably alter the chloride conductance in vitro of cell expressing GABA_A receptors.

10 168. The method of Claim 167 wherein the cell is recombinantly expresses a heterologous GABA_A receptor and the alteration of the electrophysiology of the cell is detected by intracellular recording or patch clamp recording.

15 169. The method of Claim 167 wherein the cell is a neuronal cell that is contacted in vivo in an animal, the cell is contacted with the compound or salt dissolved in a body fluid, and the alteration in the electrophysiology of the cell is detected as a change in the animal's behavior.

20 170. The method of Claim 169 wherein the animal is a human, the neuronal cell is a brain cell, and the body fluid is cerebrospinal fluid.

25 171. A method for altering the signal-transducing activity of a GABA_A receptor, the method comprising exposing a cell expressing the GABA_A receptor to an amount of a compound or salt according to Claim 1 sufficient to inhibit RO15-1788 binding in
30 vitro to cells expressing a human GABA_A receptor.

172. A method for the treatment of anxiety, depression, a sleep disorder, schizophrenia, attention deficit-hyperactivity

disorder, or for the enhancement of memory, comprising administering an effective amount of a compound or salt of Claim 1 to a patient.

5 173. A method for demonstrating the presence of a GABA_A receptor in a cell or tissue sample, said method comprising:
 contacting a cell or tissue sample with a labeled compound or salt according to Claim 1;
 washing the cell or tissue sample to remove unbound labeled
10 compound or salt; and
 detecting the presence of labeled compound or salt in the cell or tissue sample.

174. The method of Claim 173 in which the cell or tissue
15 sample is a tissue section.

175. The method of Claim 173 in which the labeled compound or salt contains a radioactive label or a directly or indirectly luminescent label.
20

176. The method of Claim 173 in which the cell or tissue sample is a tissue section, labeled compound or salt contains a radioactive label or a directly or indirectly luminescent label, and the labeled compound or salt is detected autoradiographically
25 to generate an autoradiogram.

177. A method for demonstrating the presence of a GABA_A receptor in a tissue section comprising:
 contacting the tissue section with a radiolabeled or
30 luminescently labeled compound or salt according to Claim 1 to yield a contacted tissue section;
 washing the tissue section to remove unbound labeled compound or salt;

detecting the labeled compound or salt in the tissue section; and

comparing the exposure density of the tissue section with the exposure density of a second tissue section that has not been contacted with a compound or salt according to Claim 1.

178. A package comprising a pharmaceutical composition of claim 165 in a container and further comprising at least one of:

instructions for using the composition to treat a patient suffering from an anxiety disorder, or

instructions for using the composition to treat a patient suffering from depression, or

instructions for using the composition to treat a patient suffering from a sleeping disorder,

instructions for using the composition to treat a patient suffering from schizophrenia, or

instructions for using the composition to treat a patient suffering from attention deficit-hyperactivity disorder.

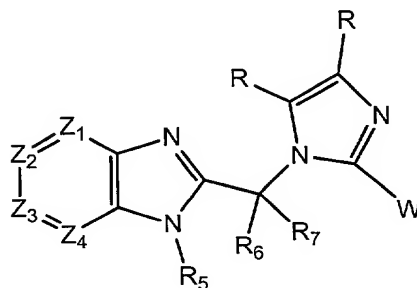
179. A package comprising a pharmaceutical composition of claim 165 in a container and further comprising indicia comprising at least one of: instructions for using the composition to treat a patient suffering from Alzheimer's dementia or instructions for using the composition to enhance memory in a patient.

180. The use of a compound or salt according to Claim 1 for the manufacture of a medicament.

181. The use of a compound or salt according to Claim 1 for the treatment of anxiety, depression, a sleep disorder, schizophrenia, or attention deficit-hyperactivity disorder.

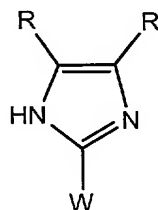
182. The use of a compound or salt according to Claim 1 for the enhancement of memory.

183. A process for preparing a compound of Formula A



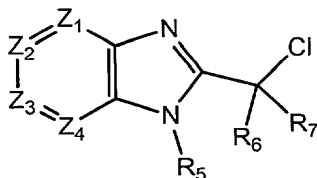
Formula A

comprising reacting a compound of Formula B



Formula B

with a compound of Formula C



Formula C

wherein:

Z₁ is nitrogen or CR₁;

Z₂ is nitrogen or CR₂;

Z₃ is nitrogen or CR₃;

Z₄ is nitrogen or CR₄;

provided that no more than two of Z₁, Z₂, Z₃, and Z₄ are nitrogen;

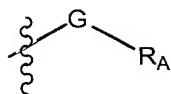
R₁, R₂, R₃, and R₄ are independently selected from

i) hydrogen, halogen, hydroxy, nitro, cyano, amino, haloalkyl, and haloalkoxy,

ii) alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, (cycloalkyl)alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), hydroxyalkyl, aminoalkyl, (R₁₀)NHalkyl, (R₁₀)(R₁₁)Nalkyl, alkanoyl, alkoxycarbonyl, (heterocycloalkyl)alkyl, alkylsulfonyl, alkylthio, mono- or dialkylaminocarbonyl, heterocycloalkyl, aryl, and heteroaryl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀,

wherein R₁₀ and R₁₁ are independently selected from the group consisting of alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, alkanoyl, and mono and dialkylaminoalkyl; and

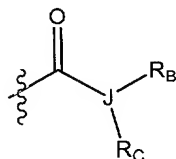
iii) a group of the formula:



where G is a bond, alkyl, -O-, -C(=O)-, or -CH₂C(=O)-, and

R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀, and

iv) a group of the formula



where J is N, CH, or C-alkyl, and

R_B and R_C are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, aryl, arylalkyl, alkanoyl, heteroaryl, and mono and dialkylaminoalkyl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl;

R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain:

a) one or more double bonds,

b) one or more of oxo, O, S, SO, SO₂, or N-R_D wherein R_D is hydrogen, Ar₁, alkyl, cycloalkyl, heterocycloalkyl, or Ar₁alkyl; wherein Ar₁ is aryl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl, and/or

c) one or more substituents R₂₀;

v) -OC(=O)R_E, -C(=O)OR_E, -C(=O)NH₂, -C(=O)NHR_E, -C(=O)NR_ER_F, -S(O)_nR_E, -S(O)_nNH₂, -S(O)_nNHR_E, -S(O)_nNR_ER_F, -NHC(=O)R_E, -C(=NR_E)R_F, -HC=N-OH, -HC=N(alkoxy), -HC=N(alkyl), -NR_EC(=O)R_F, -NHS(O)_mR_E, and -NR_ES(O)_mR_F, where m is 0, 1 or 2, and

R_E and R_F are independently selected at each occurrence from alkyl, cycloalkyl, heterocycloalkyl, alkoxy, mono- or dialkylamino, aryl, or heteroaryl each of which is optionally substituted by 1, 2, or 3 of R₃₀;

R₂₀ is independently selected at each occurrence from the group consisting of: halogen; hydroxy; nitro; cyano; amino; alkyl; alkoxy optionally substituted with amino or mono- or dialkylamino; cycloalkyl; cycloalkylalkyl; cycloalkylalkoxy; alkenyl; alkynyl; haloalkyl; oxo; haloalkoxy; mono- and dialkylamino; aminoalkyl; and mono- and dialkylaminoalkyl;

R₃₀ is independently selected at each occurrence from halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, oxo, mono- and dialkylamino, aminoalkyl, and mono- and dialkylaminoalkyl;

R₅ represents hydrogen or haloalkyl; or

R₅ represents alkyl, cycloalkyl, or (cycloalkyl)alkyl, each of which may contain one or more double or triple bonds, and each of which is optionally substituted with 1, 2, or 3 of R₃₀, or

R₅ represents aryl, arylalkyl, heteroaryl, or heteroarylalkyl each of which is optionally substituted with 1, 2, or 3 substituents selected from the group consisting of haloalkyl, amino, -NH(R₁₀), -N(R₁₀)(R₁₁), carboxamido, (R₁₀)NHcarbonyl, (R₁₀)(R₁₁)Ncarbonyl, halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aminoalkyl, and mono- and dialkylaminoalkyl;

R₆ and R₇ independently represent hydrogen, fluorine, or alkyl;

R is independently chosen at each occurrence from hydrogen, halogen, amino, C₁-C₆alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, C₁-C₆alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈cycloalkyl)(C₁-C₄)alkyl, halo(C₁-C₆)alkyl, haloalkoxy, carboxamido, and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which may be further substituted with one or more substituents independently selected from halogen, oxo, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl); and

W represents aryl or heteroaryl, wherein the aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or 2.

184. A process according to Claim 183, wherein:

Z₁ is CR₁, Z₂ is CR₂, Z₃ is CR₃, and Z₄ is CR₄,

R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, furanyl, thienyl, thiazolyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl, isoquinolinyl each of which is optionally substituted with up to 4 R₃₀ groups.

185. A process according to Claim 184, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

186. A process according to Claim 184, wherein R, R₁, and R₄ are hydrogen.

187. A process according to Claim 184, wherein R₅ is ethyl or n-propyl.

188. A process according to Claim 184 wherein R₂ is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,
- ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl) C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl,

(heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀.

189. A process according to Claim 183 wherein

5 Z₁ is CR₁;

one and only one of Z₂ or Z₃ is nitrogen;

Z₄ is CR₄; and

R₂ or R₃ is chosen from

10 i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,

15 ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl) C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀;

R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

20 R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

25 R₆ and R₇ are hydrogen;

30 W represents a 5-membered heteroaryl group, the 5-membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or 2.

190. A process according to Claim 189, wherein Z₃ is nitrogen.

191. A process according to Claim 189 wherein
R₁ and R₄ are independently selected from hydrogen, halogen,
trifluoromethyl, C₁-C₂ alkyl, and cyano; and
5 W is thiazolyl, thienyl, imidazolyl, oxazolyl, triazolyl,
tetrazolyl, pyrazolyl, or isoxazolyl, each of which is
optionally substituted by one or more substituents
independently chosen from halogen, cyano, hydroxy, oxo, C₁-
C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

192. A process according to Claim 191, wherein W is 2-
thiazolyl.

193. A compound or salt according to Claim 191, wherein R,
15 R₁ and R₄ are hydrogen.

194. A compound or salt according to Claim 191, wherein R₅
is ethyl or n-propyl.

195. A process according to Claim 189, wherein Z₂ is
20 nitrogen.

196. A process according to Claim 195 wherein
R₁ and R₄ are independently selected from hydrogen, halogen,
25 trifluoromethyl, C₁-C₂ alkyl, and cyano; and
W is thiazolyl, thienyl, imidazolyl, oxazolyl, triazolyl,
tetrazolyl, pyrazolyl, or isoxazolyl, each of which is
optionally substituted by one or more substituents
independently chosen from halogen, cyano, hydroxy, oxo, C₁-
30 C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

197. A process according to Claim 196, wherein W is 2-
thiazolyl.

198. A compound or salt according to Claim 196, wherein R, R₁ and R₄ are hydrogen.

5 199. A compound or salt according to Claim 196, wherein R₅ is ethyl or n-propyl.

200. A process according to Claim 183 wherein Z₁ is CR₁;

10 one and only one of Z₂ or Z₃ is nitrogen;

Z₄ is CR₄;

R₂ or R₃ is chosen from

i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C₁-C₆)alkyl, and halo(C₁-C₆)alkoxy,

15 ii) C₁-C₆alkyl, C₁-C₆alkoxy, C₃-C₈cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, (C₃-C₈cycloalkyl) C₁-C₄alkyl, -NH(R₁₀), -N(R₁₀)(R₁₁), (R₁₀)NH(C₁-C₆)alkyl, (R₁₀)(R₁₁)N(C₁-C₆)alkyl, (heterocycloalkyl)C₁-C₄alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R₂₀;

20 R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)alkyl;

R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

30 W represents a 6-membered aryl or heteroaryl group, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -C(=O)OR_E, -C(=O)NHR_E, -C(=O)NR_ER_F, -C(O)R_E, and -

S(O)_mR_E, -OR_E, where R₃₀ and R_E are as defined above and m is 0, 1, or 2.

201. A process according to Claim 200, wherein Z₃ is
5 nitrogen.

202. A process according to Claim 201 wherein
R₁ and R₄ are independently selected from hydrogen, halogen,
trifluoromethyl, C₁-C₂ alkyl, and cyano; and
10 W is phenyl, pyrimidinyl, pyridyl, pyrazinyl, or pyridizinyl,
each of which is optionally substituted by one or more
substituents independently chosen from halogen, cyano,
hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

203. A process according to Claim 202, wherein W is 2-
15 pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

204. A compound or salt according to Claim 202, wherein R,
R₁ and R₄ are hydrogen.
20

205. A compound or salt according to Claim 202, wherein R₅
is ethyl or n-propyl.

206. A process according to Claim 200, wherein Z₂ is
25 nitrogen.

207. A process according to Claim 206 wherein
R₁ and R₄ are independently selected from hydrogen, halogen,
trifluoromethyl, C₁-C₂ alkyl, and cyano; and
30 W is phenyl, pyrimidinyl, pyridyl, pyrazinyl, or pyridizinyl,
each of which is optionally substituted by one or more
substituents independently chosen from halogen, cyano,
hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

208. A process according to Claim 207, wherein W is 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

5 209. A compound or salt according to Claim 207, wherein R, R₁ and R₄ are hydrogen.

210. A compound or salt according to Claim 207, wherein R₅ is ethyl or n-propyl.

10